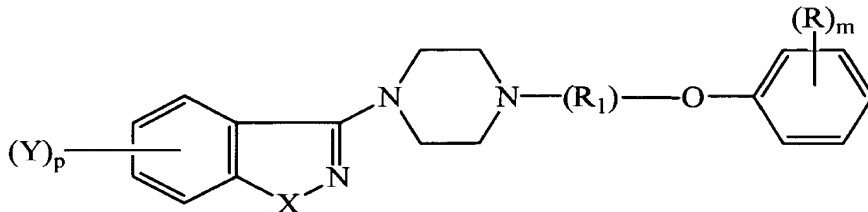


In the Claims

Please amend Claims 2, 17, 18, 36, 46, 66, 86 to 89, 92, 93, 96, and 104 as follows:

2. (Amended) A compound as claimed in claim 1, wherein X is -O-, -S-, or -NH-.
17. (Amended) A compound as claimed in claim 1, which is 1-[4-[4-[4-(6-fluoro-1H-indazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]ethanone or a pharmaceutically acceptable acid addition salt thereof.
18. (Amended) A compound as claimed in claim 1, which is 1-[4-[3-[4-(6-chloro-1H-indazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]ethanone or a pharmaceutically acceptable acid addition salt thereof.
36. (Amended) An [antipsychotic] antipsychotic composition, which comprises a compound as claimed in claim 1, 25, 26, 27, 28, or 29, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically acceptable carrier therefor.

46. (Amended Four times) A compound of the formula



wherein

X is $-O-$, $-S-$, $-NH-$, or $-N(R_2)$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10}) cycloalkyl, aroyl, (C_2-C_{11}) alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is $-O-$;

(R_1) is

$-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-$,

$-CH_2-CH=CH-CH_2-CH_2-$,

$-CH_2-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-CH_2-$, or

$-CH_2-CH_2-C\equiv C-CH_2-$,

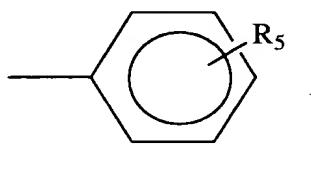
the $-CH=CH-$ bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

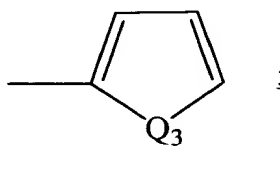
aminocarbonyl, dialkylaminocarbonyl, formyl, $-C(=O)-$ alkyl,
 $-C(=O)-O-$ alkyl, $-C(=O)-$ aryl, $-C(=O)-$ heteroaryl, $-CH(OR_7)-$ alkyl,
 $-C(=W)-$ alkyl, $-C(=W)-$ aryl, or $-C(=W)-$ heteroaryl;

where alkyl is lower alkyl;

aryl is phenyl or



wherein R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine,
fluorine, bromine, iodine, lower monoalkylamino, lower
dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;
heteroaryl is



where Q_3 is $-O-$, $-S-$, $-NH-$, or $-CH=N-$;

W is CH_2 or CHR_8 or $N-R_9$;

R_7 is hydrogen, lower alkyl, or lower alkyl- $(C=O)-$;

R_8 is lower alkyl;

R_9 is hydroxy, alkoxy, or $-NHR_{10}$; and

R_{10} is hydrogen, lower alkyl, C_1-C_3 acyl, aryl, $-C(=O)-$ aryl, or
 $-C(=O)-$ heteroaryl,

wherein aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is

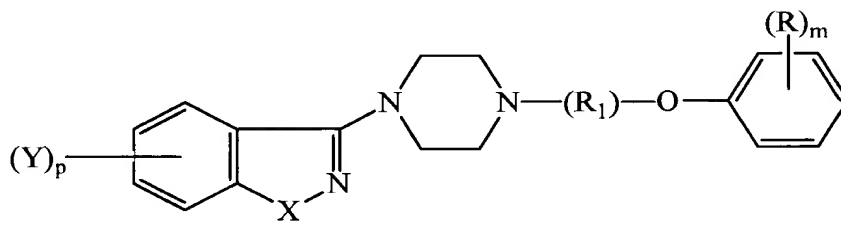
hydrogen, C_1-C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1-C_4
alkoxy, or $-COOR_{23}$ wherein R_{23} is H or C_1-C_4 alkyl;

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all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid
addition salt thereof.

66. (Amended four times) A compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-C₁₀) cycloalkyl, aroyl, (C₂-C₁₁) alkanoyl, and phenylsulfonyl groups;

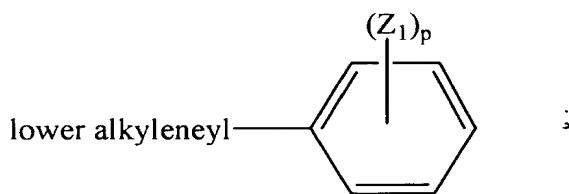
aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R₁) is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group or



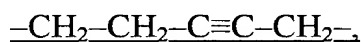
wherein Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen, p as previously defined;

R₂₀ is -(CH₂)_n-, where n is 2, 3, 4 or 5;

R₂₁ is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

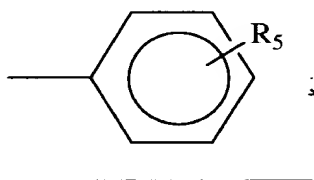


the --CH=CH-- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl, --C(=O)--alkyl , --C(=O)--O--alkyl , --C(=O)--aryl , $\text{--C(=O)--heteroaryl}$, $\text{--CH(OR}_7\text{)--alkyl}$, --C(=W)--alkyl , --C(=W)--aryl , or $\text{--C(=W)--heteroaryl}$;

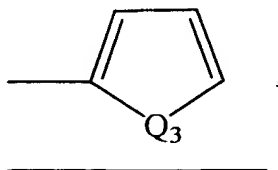
wherein alkyl is lower alkyl;

aryl is phenyl or



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



wherein Q₃ is --O-- , --S-- , --NH-- , or --CH=N-- ;

W is CH_2 or CHR_8 or N--R_9 ;

R₇ is hydrogen, lower alkyl, or lower alkyl-(C=O)-;

R₈ is lower alkyl;

R₉ is hydroxy, alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

wherein aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is

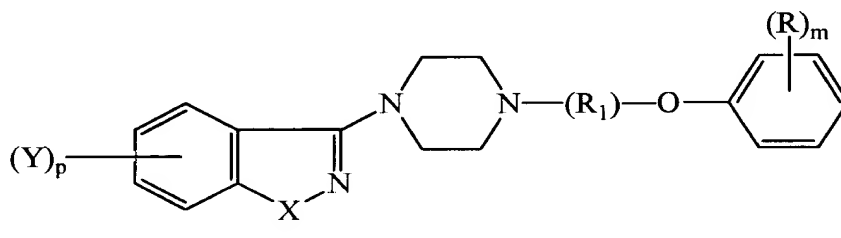
hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄
alkoxy, or -COOR₂₃

wherein R₂₃ is H or C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable
acid addition salt thereof.

86. (Amended four times) A pharmaceutical composition, which comprises a compound of the formula



wherein

X is $-\text{O}-$, $-\text{S}-$, $-\text{NH}-$, or $-\text{N}(\text{R}_2)-$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, $(\text{C}_3-\text{C}_{10})$ cycloalkyl, aroyl, $(\text{C}_2-\text{C}_{11})$ alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is $-\text{O}-$;

(R_1) is

$-\text{CH}_2-\text{CH}=\text{CH}-\text{CH}_2-$,

$-\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-$,

$-\text{CH}_2-\text{CH}=\text{CH}-\text{CH}_2-\text{CH}_2-$,

$-\text{CH}_2-\text{CH}_2-\text{CH}=\text{CH}-\text{CH}_2-$,

$-\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-\text{CH}_2-$, or

$-\text{CH}_2-\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-$,

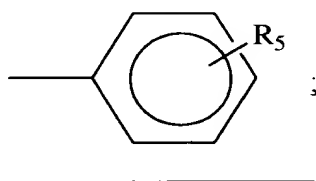
the $-\text{CH}=\text{CH}-$ bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,

trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,
aminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl,
-C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR₇)-alkyl,
-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

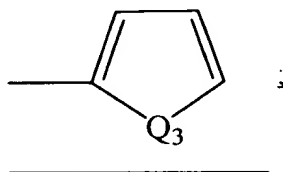
wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine,
bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro,
cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



wherein Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or lower alkyl-(C=O)-;

R₈ is lower alkyl;

R₉ is hydroxy, alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is

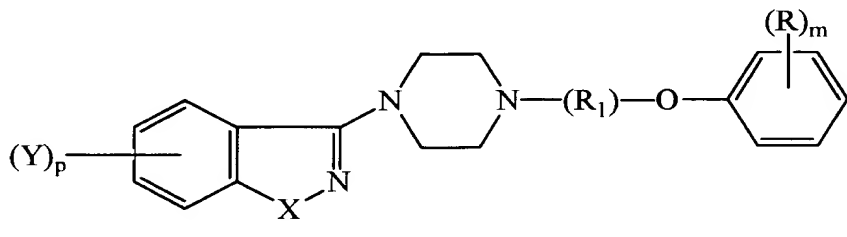
hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄

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alkoxy, or $-\text{COOR}_{23}$, wherein R_{23} is H or $\text{C}_1\text{--C}_4$ alkyl;
all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid
addition salt thereof, and a pharmaceutically acceptable carrier therefor.

87. (Amended four times) A pharmaceutical composition, which comprises a compound of the formula



wherein

X is $-\text{O}-$, $-\text{S}-$, $-\text{NH}-$, or $-\text{N}(\text{R}_2)$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, $(\text{C}_3-\text{C}_{10})$ cycloalkyl, aroyl, $(\text{C}_2-\text{C}_{11})$ alkanoyl, and phenylsulfonyl groups;

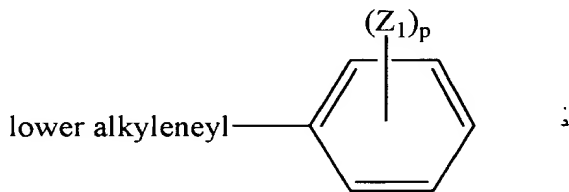
aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is $-\text{O}-$;

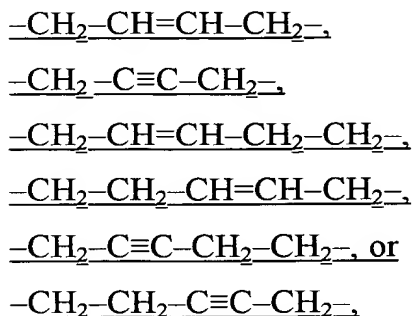
(R_1) is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted by at least one C_1-C_6 linear alkyl group, phenyl group or



wherein Z_1 is lower alkyl, $-\text{OH}$, lower alkoxy, $-\text{CF}_3$, $-\text{NO}_2$, $-\text{NH}_2$ or halogen, and p as previously defined;

R_{20} is $-(\text{CH}_2)_n-$, where n is 2, 3, 4 or 5;

R_{21} is

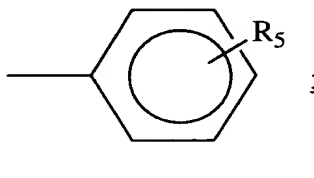


the --CH=CH-- bond being cis or trans;

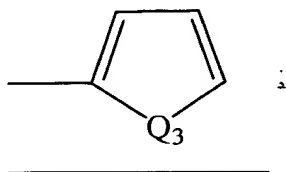
R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl, --C(=O)--alkyl , --C(=O)--O--alkyl , --C(=O)--aryl , $\text{--C(=O)--heteroaryl}$, $\text{--CH(OR}_7\text{)--alkyl}$, --C(=W)--alkyl , --C(=W)--aryl , or $\text{--C(=W)--heteroaryl}$;

wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy; heteroaryl is



wherein Q_3 is --O-- , --S-- , --NH-- , or --CH=N-- ;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or lower alkyl-(C=O)-;

R₈ is lower alkyl;

R₉ is hydroxy, alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

wherein aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is

hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄

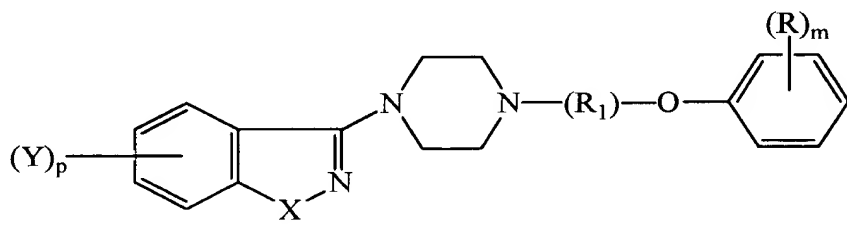
alkoxy, or -COOR₂₃ wherein R₂₃ is H or C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof, and a pharmaceutically acceptable carrier therefor.

88. (Amended four times) An antipsychotic composition, which comprises a compound of the formula



wherein

X is $-\text{O}-$, $-\text{S}-$, $-\text{NH}-$, or $-\text{N}(\text{R}_2)-$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, $(\text{C}_3-\text{C}_{10})$ cycloalkyl, aroyl, $(\text{C}_2-\text{C}_{11})$ alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is $-\text{O}-$;

(R_1) is

$-\text{CH}_2-\text{CH}=\text{CH}-\text{CH}_2-$,

$-\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-$,

$-\text{CH}_2-\text{CH}=\text{CH}-\text{CH}_2-\text{CH}_2-$,

$-\text{CH}_2-\text{CH}_2-\text{CH}=\text{CH}-\text{CH}_2-$,

$-\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-\text{CH}_2-$, or

$-\text{CH}_2-\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-$,

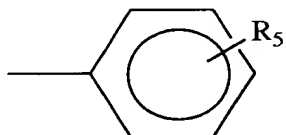
the $-\text{CH}=\text{CH}-$ bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,

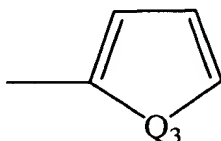
trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,
aminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl,
-C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl,
-CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or
-C(=W)-heteroaryl;

wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine,
fluorine, bromine, iodine, lower monoalkylamino, lower
dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;
heteroaryl is



wherein Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or lower alkyl-(C=O)-;

R₈ is lower alkyl;

R₉ is hydroxy, alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl, -C(=O)-aryl, or
-C(=O)-heteroaryl,

wherein aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is

hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄

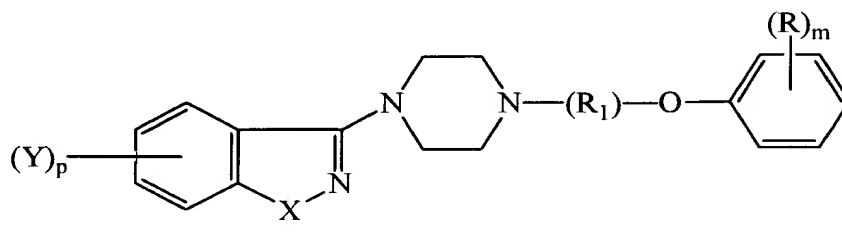
alkoxy, or -COOR₂₃ where R₂₃ is H or C₁-C₄ alkyl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof, in an amount sufficient to produce an antipsychotic effect,

and a pharmaceutically acceptable carrier therefor.

89. (Amended four times) An antipsychotic composition, which comprises a compound of the formula



wherein

X is $-\text{O}-$, $-\text{S}-$, $-\text{NH}-$, or $-\text{N}(\text{R}_2)$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, $(\text{C}_3-\text{C}_{10})$ cycloalkyl, aroyl, $(\text{C}_2-\text{C}_{11})$ alkanoyl, and phenylsulfonyl groups;

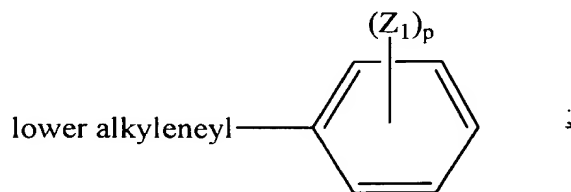
aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is $-\text{O}-$;

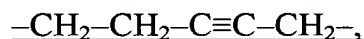
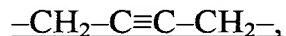
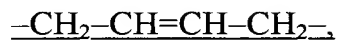
(R_1) is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted by at least one C_1-C_6 linear alkyl group, phenyl group or



wherein Z_1 is lower alkyl, $-\text{OH}$, lower alkoxy, $-\text{CF}_3$, $-\text{NO}_2$, $-\text{NH}_2$ or halogen; and p is as previously defined;

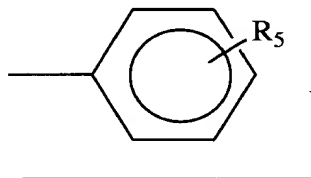
R_{20} is $-(\text{CH}_2)_n-$, where n is 2, 3, 4 or 5;

R_{21} is

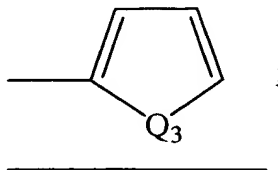


the —CH=CH— bond being *cis* or *trans*;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl, —C(=O)—alkyl , —C(=O)—O—alkyl , —C(=O)—aryl , —C(=O)—heteroaryl , $\text{—CH(OR}_7\text{)—alkyl}$, —C(=W)—alkyl , —C(=W)—aryl , or —C(=W)—heteroaryl ; wherein alkyl is lower alkyl; aryl is phenyl or



wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy; heteroaryl is



wherein Q₃ is —O— , —S— , —NH— , or —CH=N— ;
W is CH_2 or CHR_8 or N—R_9 ;

R₇ is hydrogen, lower alkyl, or lower alkyl-C(=O)-;

R₈ is lower alkyl;

R₉ is hydroxy, alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

wherein aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is

hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄

alkoxy, or -COOR₂₃ wherein R₂₃ is H or C₁-C₄ alkyl;

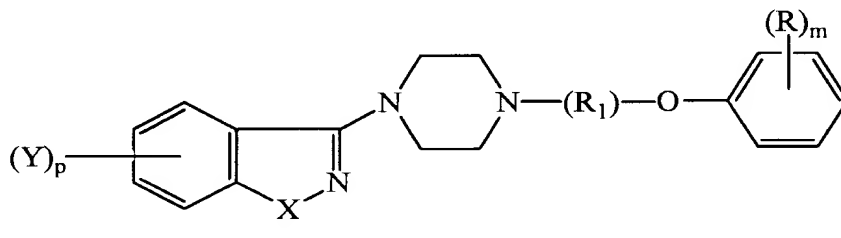
with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof, in an amount sufficient to produce an antipsychotic effect,

and a pharmaceutically acceptable carrier therefor.

92. (Amended four times) An analgesic composition, which comprises a compound of the formula



wherein

X is $-\text{O}-$, $-\text{S}-$, $-\text{NH}-$, or $-\text{N}(\text{R}_2)$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, $(\text{C}_3-\text{C}_{10})$ cycloalkyl, aroyl, $(\text{C}_2-\text{C}_{11})$ alkanoyl, and phenylsulfonyl groups; aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is $-\text{O}-$;

(R_1) is

$-\text{CH}_2-\text{CH}=\text{CH}-\text{CH}_2-$,
 $-\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-$,
 $-\text{CH}_2-\text{CH}=\text{CH}-\text{CH}_2-\text{CH}_2-$,
 $-\text{CH}_2-\text{CH}_2-\text{CH}=\text{CH}-\text{CH}_2-$,
 $-\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-\text{CH}_2-$, or
 $-\text{CH}_2-\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-$,

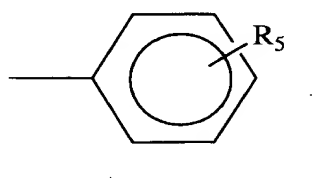
the $-\text{CH}=\text{CH}-$ bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

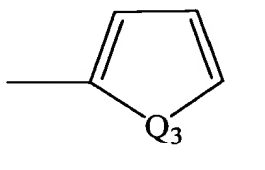
aminocarbonyl, dialkylaminocarbonyl, formyl, $-C(=O)-$ alkyl,
 $-C(=O)-O-$ alkyl, $-C(=O)-$ aryl, $-C(=O)-$ heteroaryl,
 $-CH(OR_7)-$ alkyl, $-C(=W)-$ alkyl, $-C(=W)-$ aryl, or
 $-C(=W)-$ heteroaryl;

wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine,
bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro,
cyano, trifluoromethyl, or trifluoromethoxy;
heteroaryl is



wherein Q_3 is $-O-$, $-S-$, $-NH-$, or $-CH=N-$;

W is CH_2 or CHR_8 or $N-R_9$;

R_7 is hydrogen, lower alkyl, or lower alkyl- $(C=O)-$;

R_8 is lower alkyl;

R_9 is hydroxy, lower alkoxy, or $-NHR_{10}$; and

R_{10} is hydrogen, lower alkyl, C_1-C_3 acyl, aryl,

$-C(=O)-$ aryl, or $-C(=O)-$ heteroaryl,

wherein aryl and heteroaryl are as defined above; and

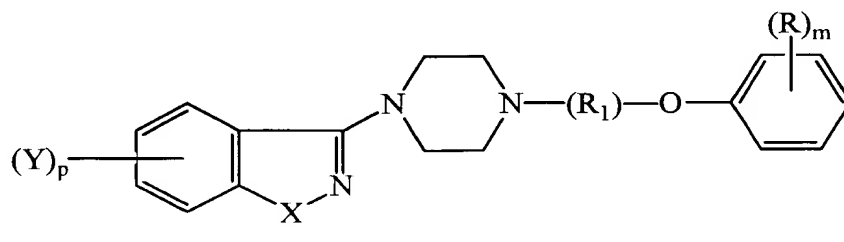
m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is

hydrogen, C_1-C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1-C_4

alkoxy, or $-\text{COOR}_{23}$ wherein R_{23} is H or $\text{C}_1\text{--C}_4$ alkyl;
all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid
addition salt thereof, in an amount sufficient to produce a pain-relieving effect,
and a pharmaceutically acceptable carrier therefor.

93. (Amended four times) An analgesic composition, which comprises a compound of the formula



wherein

X is $-\text{O}-$, $-\text{S}-$, $-\text{NH}-$, or $-\text{N}(\text{R}_2)$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, $(\text{C}_3-\text{C}_{10})$ cycloalkyl, aroyl, $(\text{C}_2-\text{C}_{11})$ alkanoyl, and phenylsulfonyl groups;

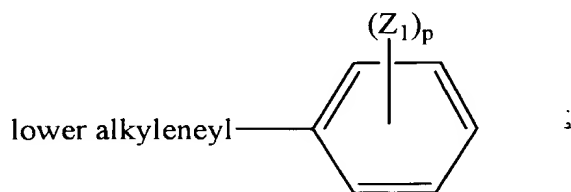
aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is $-\text{O}-$;

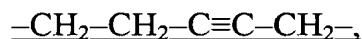
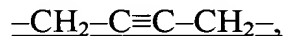
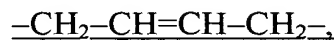
(R_1) is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted by at least one C_1-C_6 linear alkyl group, phenyl group or



wherein Z_1 is lower alkyl, $-\text{OH}$, lower alkoxy, $-\text{CF}_3$, $-\text{NO}_2$, $-\text{NH}_2$ or halogen; and p is as previously defined;

R_{20} is $-(\text{CH}_2)_n-$, where n is 2, 3, 4 or 5;

R_{21} is

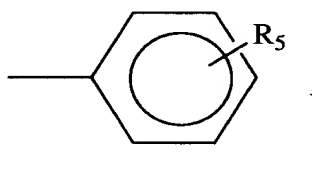


the —CH=CH— bond being cis or trans;

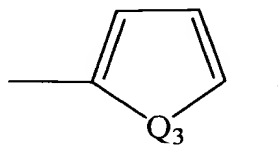
R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl, —C(=O)—alkyl , —C(=O)—O—alkyl , —C(=O)—aryl , —C(=O)—heteroaryl , $\text{—CH(OR}_7\text{)—alkyl}$, —C(=W)—alkyl , —C(=W)—aryl , or —C(=W)—heteroaryl ;

wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy; heteroaryl is



wherein Q_3 is —O— , —S— , —NH— , or —CH=N— ;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or lower alkyl-(C=O)-;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

wherein aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is

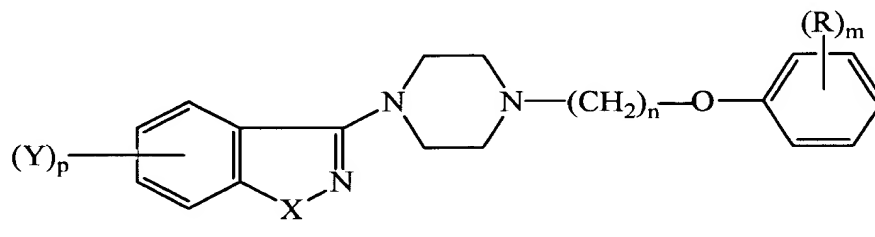
hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄

alkoxy, or -COOR₂₃ wherein R₂₃ is H or C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid
addition salt thereof, in an amount sufficient to produce a pain-relieving effect,
and a pharmaceutically acceptable carrier therefor.

96. (Amended three times) An analgesic composition, which comprises a compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-C₁₀) cycloalkyl, aroyl, (C₂-C₁₁) alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

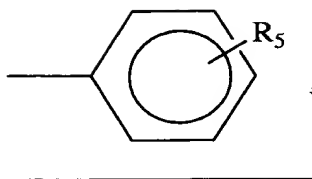
Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

n is 2, 3, 4 or 5;

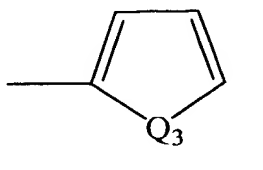
R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy; heteroaryl is



Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or lower alkyl-(C=O)-;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the proviso that at least one R is selected from the group consisting of dialkylaminocarbonyl, formyl, -C(=W)-aryl, and -C(=W)-heteroaryl;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃ wherein R₂₃ is H or C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid addition salt thereof, in an amount sufficient to produce a pain-relieving effect,

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and a pharmaceutically acceptable carrier therefor.

104. (Amended three times) A compound as claimed in claim 96, wherein X is -O-, -S-, or -NH-; Y is H, Cl, F, or -CF₃; R is selected from the group consisting of hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, -OH, Cl, F, Br, I, C₁-C₃ monoalkylamino, acylamino, -NO₂, -OCF₃, and -CF₃; and n is 2, 3, or 4.